(19) World Intellectual Property Organization

International Bureau



(43) International Publication Date 5 August 2004 (05.08.2004)

(10) International Publication Number WO 2004/065380 A1

- (51) International Patent Classification7: C07D 401/14. 401/04, 413/14, 403/14, 405/14, 417/14, A61K 31/513, A61P 3/10
- (21) International Application Number:

PCT/US2004/001267

- (22) International Filing Date: 14 January 2004 (14.01.2004)
- (25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

60/440,394	14 January 2003 (14.01.2003)	US
60/449,829	24 February 2003 (24.02.2003)	US
60/453,390	6 March 2003 (06.03.2003)	US
60/470,875	14 May 2003 (14.05.2003)	US

- (71) Applicant (for all designated States except US): ARENA PHARMACEUTICALS INC. [US/US]; 6166 Nancy Ridge Drive, San Diego, CA 92121-3223 (US).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): JONES, Robert, M. [GB/US]; 10937 Corte luz del Sol, San Diego, CA 92130 (US). SEMPLE, Graeme [GB/US]; 15920 Camino Codomiz, San Diego, CA 92127 (US). FIORAVANTI, Beatriz [BR/US]; 8540 Costa Verde Blvd., #4344, San Diego, CA 92122 (US). PEREIRA, Guilherme [BR/US]; 11024 Caminito Alegra, San Diego, CA 92131 (US). CALDERON, Imelda [MX/US]; 10971 Caminito Arcada, San Diego, CA 92131 (US). UY, Jane [US/US]; 4068 Florida Street, #3, San Diego, CA 92104 (US). DUVVURI, Kameshwari [IN/US]; 2301 The Woods Lane, Lexington, Kentucky 40502 (US). CHOI, Jin Sun Karoline [US/US]; 9926 Scripps Westview Way, #251,

San Diego, CA 92131 (US). XIONG, Yifeng [US/US]; 4711 Caminito Eva, San Diego, CA 92130 (US). DAVE, Vibha [US/US]; 6188 Sunset Crest Way, San Diego, CA 92121 (US).

- (74) Agents: SPRUCE, Lyle, W. et al.; Arena Pharmaceuticals, Inc., 6166 Nancy Ridge Drive, San Diego, CA 92121-3223
- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: 1,2,3-TRISUBSTITUTED ARYL AND HETEROARYL DERIVATIVES AS MODULATORS OF METABOLISM AND THE PRPPHYLAXIS AND TREATMENT OF DISORDERS RELATED THERETO SUCH AS DIABETES AND HYPERGLYCEMIA

$$Ar_{1} \stackrel{\bigvee}{\bigvee}_{W} \stackrel{\bigvee}{\bigvee}_{Z} \stackrel{\bigwedge}{\bigvee}_{B} \stackrel{\bigwedge}{\bigcap} \qquad (Ia) \qquad \stackrel{\bigvee}{\bigvee}_{N} \stackrel{\bigvee}{\bigvee}_{R_{8}} \qquad (A)$$

metabolic disorders and complications thereof, such as, diabetes and obesity.

(57) Abstract: The present invention relates certain to 1,2,3-trisubstituted arvl heteroaryl derivatives of Fomula (Ia) that are modulators of Accordingly, metabolism. compounds of the present invention are useful in the prophylaxis or treatment of